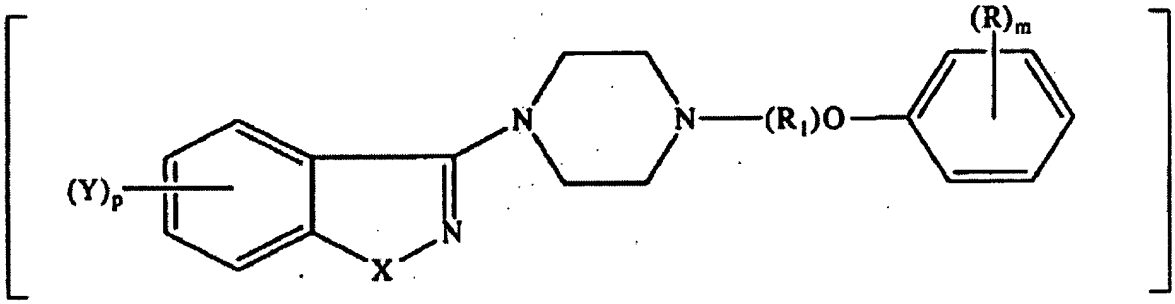
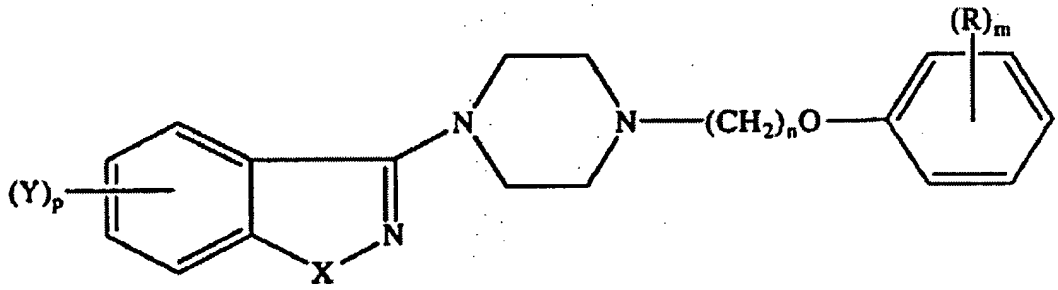
CLMSPTO 09/708,475

1. (Five times amended) A compound of the formula:





wherein,

X is -O-, -S-, -NH-, [-N(R_2)] or -N-R_2;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

[R_1 is R_{20}, R_{21} or R_{22}, wherein:

R_{20} is $-(CH_2)_n-$ where] n is 2, 3, 4, or 5;

[R_{21} is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2$,

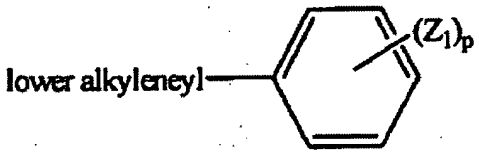
$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C\equiv C-CH_2$,

the -CH=CH- bond being cis or trans;

R_{22} is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least one C_1-C_6 linear alkyl group, phenyl group, or



;

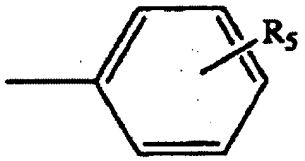
where Z_1 is lower alkyl, -OH, lower alkoxy, $-CF_3$, $-NO_2$, $-NH_2$ or halogen, p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or -CH(OR$_7$)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]

wherein alkyl is lower alkyl;

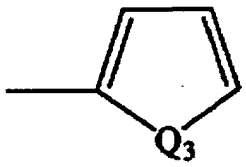
aryl is phenyl or



;

wherein R$_5$ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



;

Q$_3$ is -O-, -S-, -NH-, or -CH=N-;

[W is CH_2 or CHR_8 or N-R$_9$;]

R$_7$ is hydrogen, lower alkyl, or [alkanoyl] lower alkyl-(C=O)-;

[R$_8$ is lower alkyl;

R$_9$ is hydroxy, alkoxy, or -NHR$_{10}$; and

R$_{10}$ is hydrogen, lower alkyl, C_1-C_3 acyl, aryl, -C(=O)-aryl or -C(=O)-heteroaryl, where aryl and heteroaryl are as defined above;]

and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, [C_1 =14 C_4] C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1 - C_4 alkoxy, or -$COOR_{23}$ where R_{23} is H or C_1 - C_4 alkyl;

with the exclusion of compounds wherein X is -S-, [R_1 is R_{20},] R is H, and m=1;

[all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof.

2. (Amended) A compound as claimed in claim 1, wherein X is -O-, -S-, or -NH-.

3. A compound as claimed in claim 1, wherein Y is hydrogen, chlorine, bromine, or fluorine.

4. A compound as claimed in claim 1, wherein n is 2, 3, or 4.

5. A compound as claimed in claim 1, wherein X is —O—.

6. A compound as claimed in claim 1, wherein X is —S—.

7. A compound as claimed in claim 1, wherein X is —NH—.

8. A compound as claimed in claim 1 , wherein X is

9. (Amended Three Times) A compound as claimed in claim 1, wherein X is -O-, -S-, or -NH-; Y is H, Cl, F, or $-CF_3$; R is selected from the group consisting of hydrogen, C_1-C_3 alkyl, C_1-C_3 alkoxy, -OH, Cl, F, Br, I, [acyl,] C_1-C_3 monoalkylamino, acylamino, [$-NO_2$-,] $-NO_2$, $-OCF_3$, and $-CF_3$; and n is 2, 3, or 4.

10. A compound as claimed in claim 9, wherein the substituent Y is in the 5- or 6-position.

11. A compound as claimed in claim 10, wherein m is 2.

12. A compound as claimed in claim 10, wherein n is 3.

13. A compound as claimed in claim 10, wherein p is 1.

14. A compound as claimed in claim 1, which is 1-[4-[3-[4-(1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]ethanone or a pharmaceutically acceptable acid addition salt thereof.

15. A compound as claimed in claim 1, which is 1-[4-[4-[4-(1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]ethanone fumarate or a pharmaceutically acceptable acid addition salt thereof.

16. A compound as claimed in claim 1, which is 1-[4-[3-[4-(6-fluoro-1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]ethanone or a pharmaceutically acceptable acid addition salt thereof.

17. (Amended) A compound as claimed in claim 1, which is 1-[4-[4-[4-(6-fluoro-1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]ethanone or a pharmaceutically acceptable acid addition salt thereof.

18. (Amended) A compound as claimed in claim 1, which is 1-[4-[3-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]ethanone or a pharmaceutically acceptable acid addition salt thereof.

19. A compound as claimed in claim 1, which is 1-[4-[4-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]ethanone or a pharmaceutically acceptable acid addition salt thereof.

20. A compound as claimed in claim 1, which is 1-[4-[3-[4-(1-benzoyl-6-fluoro-1H-indazol-3-yl)-1-piperazinyl]-propoxy]-3-methoxyphenyl]ethanone sesquifumarate or a pharmaceutically acceptable acid addition salt thereof.

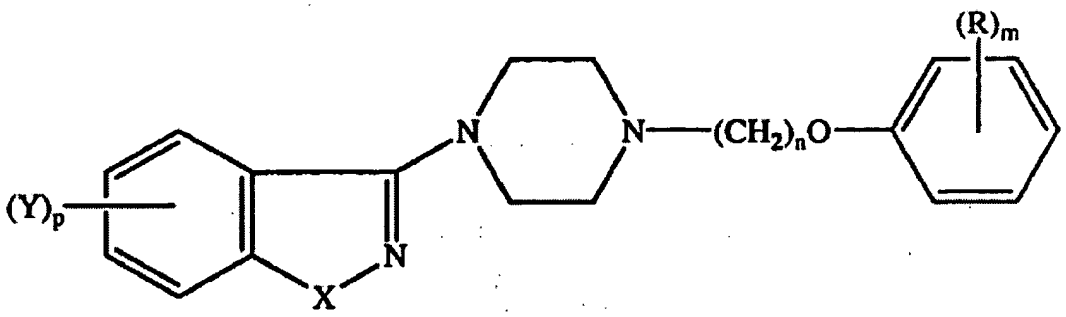
21. A compound as claimed in claim 1, which is 1-[4-[4-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]ethanone or a pharmaceutically acceptable acid addition salt thereof.

22. A compound as claimed in claim 1, which is 1-[4-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]ethanone hemifumarate or a pharmaceutically acceptable acid addition salt thereof.

23. A compound as claimed in claim 1, which is 1-[4-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethoxy]-3-methoxyphenyl]ethanone or a pharmaceutically acceptable acid addition salt thereof.

24. A compound as claimed in claim 1, which is 1-[4-[2-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]ethoxy]-3-methoxyphenyl]ethanone or a pharmaceutically acceptable acid addition salt thereof.

25. (Amended four times) A compound of the formula:



wherein X is –O–, –S–, –NH–, or [–N–R_2] –N–R_2;

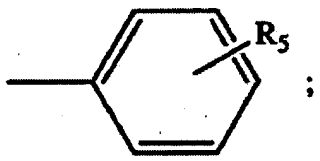
p is 1 or 2;

Y is hydrogen, Cl, Br, or F when p is 1;

Y is lower alkoxy [or halogen] when p is 2 and X is –O–;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3–C_{10}) cycloalkyl, aroyl, (C_2–C_{11}) alkanoyl, and [phenyl sulfonyl] phenylsulfonyl groups;

aryl is phenyl or



;

wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine,

lower monoalkylamino, [lower dialkylamino,]
nitro, cyano, trifluoromethyl, or trifluoromethoxy;

n is 2, 3, or 4;

R is hydrogen, C_1–C_3 alkyl, C_1–C_3 alkoxy, hydroxyl, [acyl, (C_2–C_{11}) alkanoyl,] Cl, F, Br, I, amino, C_1–C_3 mono- or dialkylamino, acylamino, $-NO_2$, $-OCF_3$, $-CF_3$, –C(=O)–alkyl, or $-CH(OR_7)$–alkyl;

alkyl is lower alkyl;

R_7 is hydrogen, lower alkyl, or acyl; and

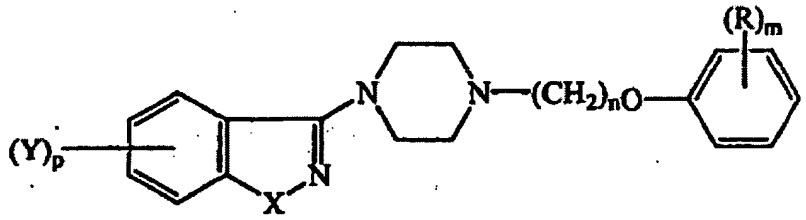
m is 1, 2, or 3;

with the exclusion of compounds wherein X is –O– or –S–, Y is hydrogen, and R is hydrogen, C_1–C_3 alkyl, chlorine, fluorine, bromine, iodine, or C_1–C_3 alkoxy;

with the exclusion of compounds wherein X is –S–, R is H, and m=1;

or a pharmaceutically acceptable acid addition salt thereof.

26. (Twice Amended) A compound of the formula:



wherein X is -O-;

p is 1 or 2;

Y is hydrogen, hydroxy, Cl, Br, or F, when p is 1;

Y is lower alkoxy[, hydroxy, or halogen] when p is 2;

n is 2, 3, or 4;

R is hydrogen, C_1-C_3 alkyl, C_1-C_3 alkoxy, hydroxyl, [acyl, (C_2-C_{11}) alkanoyl,] Cl, F, Br, I, amino, C_1-C_3 mono- or dialkylamino, acylamino, -NO_2, -OCF_3, -CF_3, -C(=O)-alkyl, or -CH(OR_7)-alkyl[,];

alkyl is lower alkyl;

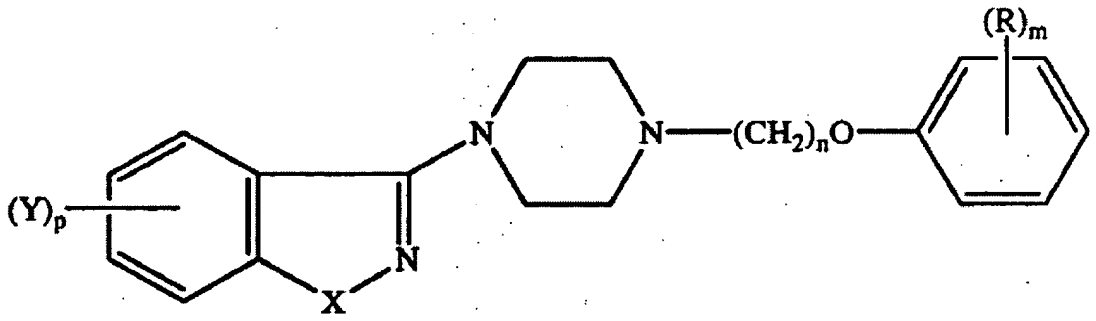
R_7 is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

with the exclusion of compounds wherein Y is hydrogen, and R is hydrogen, C_1-C_3 alkyl, chlorine, fluorine, bromine, iodine, or C_1-C_3 alkoxy;

or a pharmaceutically acceptable acid addition salt thereof.

27. (Amended four times) A compound of the formula:



wherein X is -S-;

p is 1 [or 2];

Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

n is 2, 3, or 4;

R is hydrogen, C_1-C_3 alkyl, C_1-C_3 alkoxy, hydroxyl, [acyl, (C_2-C_{11}) alkanoyl,] Cl, F, Br, I, amino, C_1-C_3 mono- or dialkylamino, acylamino, $-NO_2$, $-OCF_3$, $-CF_3$, -C(=O)-alkyl, or $-CH(OR_7)$-alkyl[,];

alkyl is lower alkyl;

R_7 is hydrogen, lower alkyl, or acyl; and

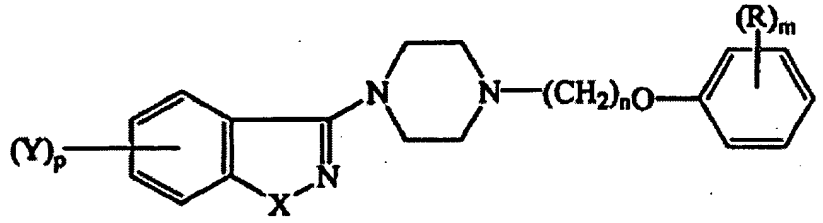
m is 1, 2, or 3;

with the exclusion of compounds wherein Y is hydrogen and R is hydrogen, C_1-C_3 alkyl, chlorine, fluorine, bromine, iodine, or C_1-C_3 alkoxy;

with the exclusion of compounds wherein R is H, and m=1;

or a pharmaceutically acceptable acid addition salt thereof.

28. (Twice Amended) A compound of the formula:



wherein X is -NH-;

p is 1 [or 2];

Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

n is 2, 3, or 4;

R is hydrogen, C_1-C_3 alkyl, C_1-C_3 alkoxy, hydroxyl, [acyl, (C_2-C_{11}) alkanoyl,] Cl, F, Br, I, amino, C_1-C_3 mono- or dialkylamino, acylamino, -NO_2, -OCF_3, -CF_3, -C(=O)-alkyl, or -CH(OR_7)-alkyl[,];

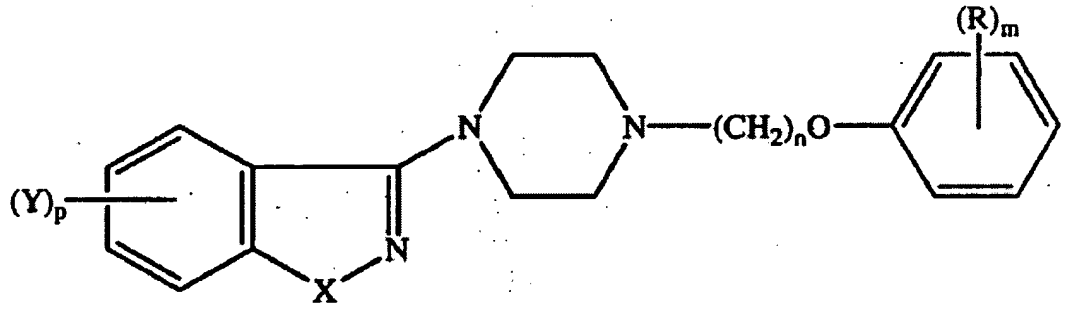
alkyl is lower alkyl;

R_7 is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

or a pharmaceutically acceptable acid addition salt thereof.

29. (Amended five times) A compound of the formula:



wherein X is $-\overset{|}{N}-R_2$;

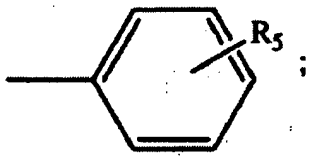
p is 1 [or 2];

Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) [aroyl,] alkanoyl, and phenylsulfonyl groups;

aryl is phenyl or



;

wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

n is 2, 3, or 4;

R is hydrogen, C_1-C_3 alkyl, C_1-C_3 alkoxy, hydroxyl, [acyl, (C_2-C_{11}) alkanoyl,] Cl, F, Br, I, amino, C_1-C_3 mono- or dialkylamino, acylamino, $-NO_2$, $-OCF_3$, $-CF_3$, -C(=O)-alkyl, or $-CH(OR_7)$-alkyl[,];

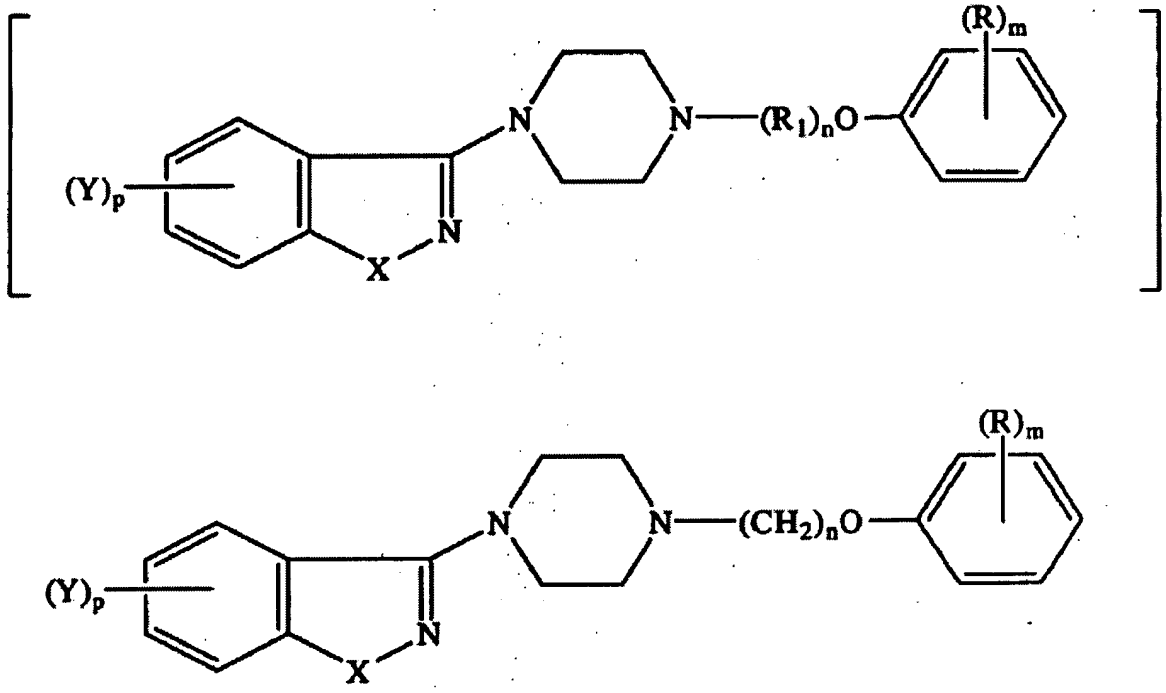
alkyl is lower alkyl;

R_7 is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

or a pharmaceutically acceptable acid addition salt thereof.

30. (Amended Five Times) A pharmaceutical composition, which comprises a compound of the formula:



wherein X is -O-, -S-, -NH-, or -N(R_2);

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups;

wherein aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

[R_1 is R_{20}, R_{21} or R_{22}, wherein:

R_{20} is $-(CH_2)_n-$ where] n is 2, 3, 4, or 5;

[R_{21} is

$-CH_2-C=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2$,

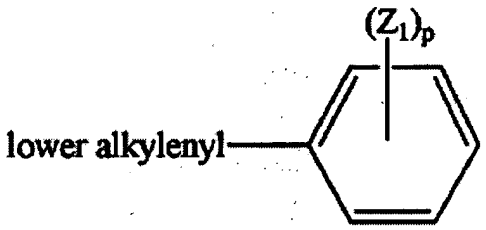
$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C\equiv C-CH_2$,

the $-CH=CH-$ bond being cis or trans;

R_{22} iS R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least on C_1-C_6 linear alkyl group, phenyl group, or



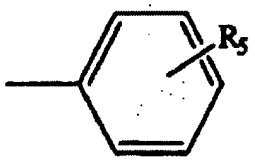
;

where Z_1 is lower alkyl, -OH, lower alkoxy, $-CF_3$, $-NO_2$, $-NH_2$ or halogen, and p as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or -CH(OR_7)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]

alkyl is lower alkyl;

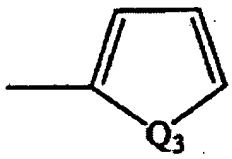
aryl is phenyl or



;

where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



;

Q_3 is -O-, -S-, -NH-, or -CH=N-;

[W is CH_2 or CHR_8 or N-R_9 ;]

R_7 is hydrogen, lower alkyl, or [(C_2-C_{11}) alkanoyl] lower alkyl-(C=O)-;

[R_8 is lower alkyl;

R_9 is hydroxy, alkoxy, or -NHR_{10} ; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl, -C(=O)-aryl or -C(=O)-heteroaryl, where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

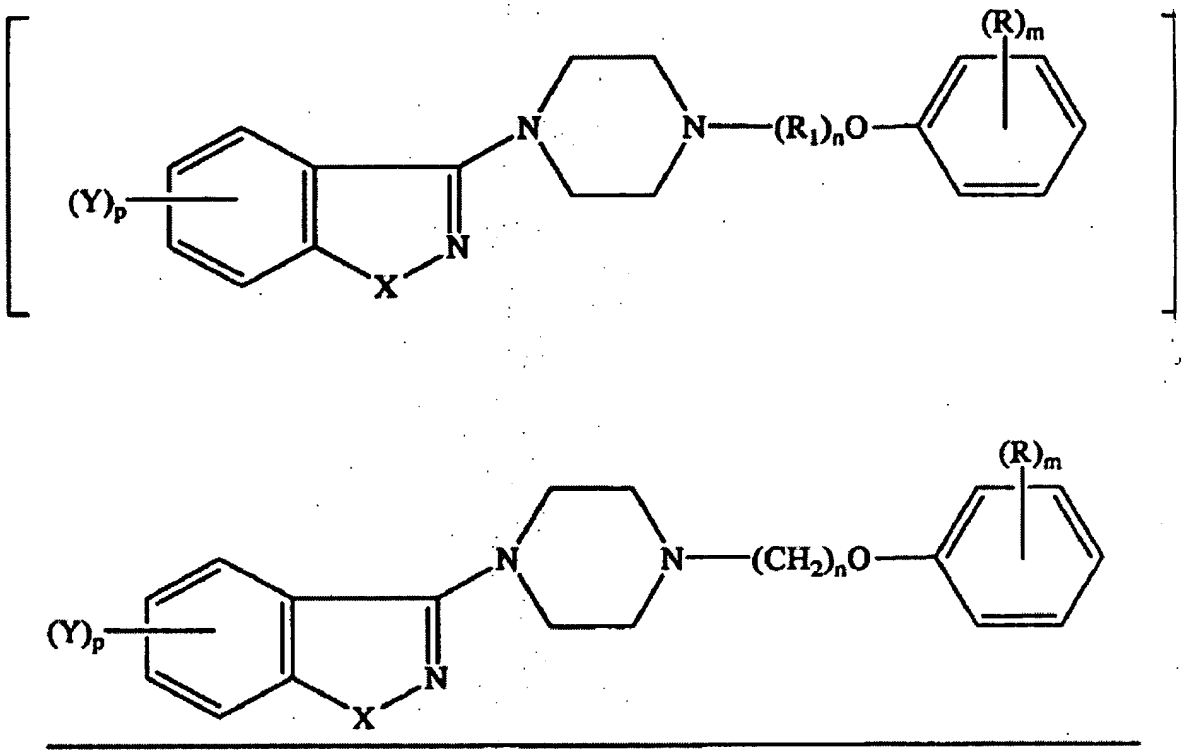
with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is

hydrogen, C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1 - C_4 alkoxy, or -$COOR_{23}$ where R_{23} is H or C_1 - C_4 alkyl;

with the exclusion of compounds wherein X is -S-, [R_1 is R_{20},] R is H, and m = 1;

[all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof, and a pharmaceutically acceptable carrier therefor.

31. (Amended Five Times) An antipsychotic composition, which comprises a compound of the formula:



wherein

X is -O-, -S-, -NH-, or $-\overset{|}{N}(R_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups; wherein aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

[R_1 is R_{20}, R_{21} or R_{22}, wherein:

R_{20} is $-(CH_2)_n-$ where] n is 2, 3, 4, or 5;

[R_{21} is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2$,

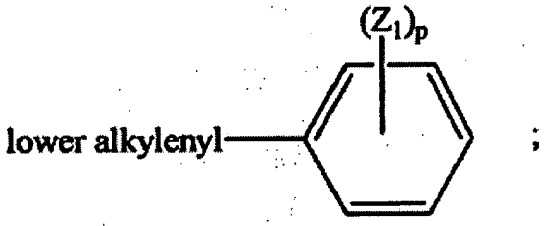
$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C\equiv C-CH_2$,

the -CH=CH- bond being cis or trans;

R_{22} is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least on C_1-C_6 linear alkyl group, phenyl group, or



;

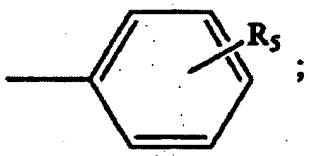
where Z_1 is lower alkyl, -OH, lower alkoxy, $-CF_3$, $-NO_2$, $-NH_2$ or halogen, a p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or -CH(OR_7)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or

-C(=W)-heteroaryl;]

alkyl is lower alkyl;

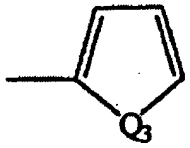
aryl is phenyl or



;

where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



;

Q_3 is -O-, -S-, -NH-, or -CH=N-;

[W is CH_2 or CHR_8 or N-R_9 ;]

R_7 is hydrogen, lower alkyl, or [(C_2-C_{11}) alkanoyl] lower alkyl-(C=O)-;

[R_8 is lower alkyl;

R_9 is hydroxy, alkoxy, or -NHR_{10}; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl, -C(=O)-aryl or -C(=O)-heteroaryl, where aryl and heteroaryl are as defined above;] and

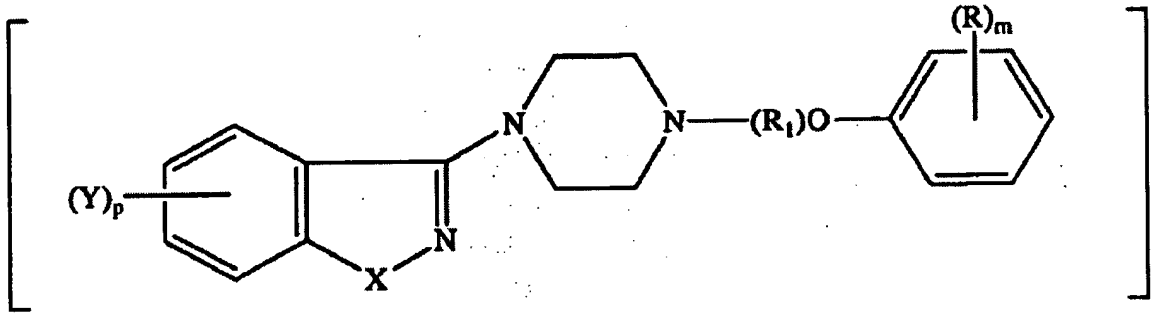
m is 1, 2, or 3;

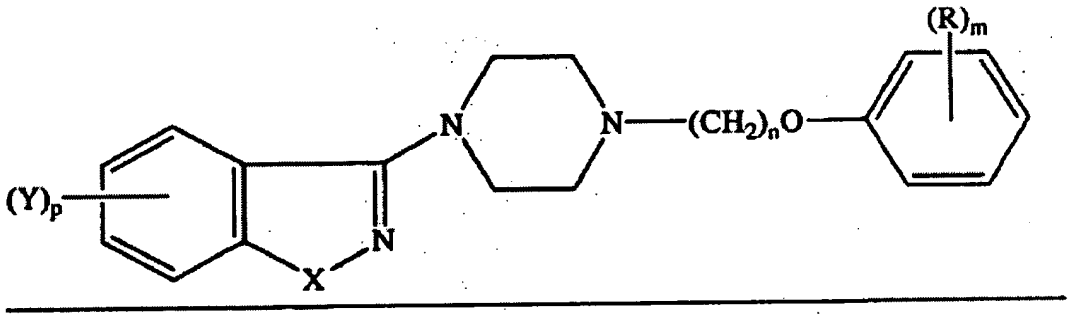
with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1 - C_4 alkoxy, or $-COOR_{23}$ where R_{23} is H or C_1 - C_4 alkyl;

with the exclusion of compounds wherein X is -S-, [R_1 is R_{20},] R is H, and m=1;

[all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

32 . (Amended Four Times) A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound of the formula:





wherein

X is -O-, -S-, -NH-, or $-\overset{|}{N}(R_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups;

where aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower

alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is –O–;

[R_1 is R_{20}, R_{21} or R_{22}, wherein:

R_{20} is $-(CH_2)_n-$ where] n is 2, 3, 4, or 5;

[R_{21} is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2$,

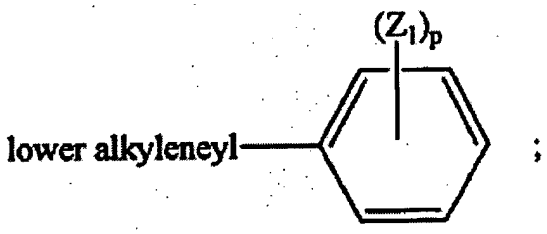
$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C\equiv C-CH_2$,

the –CH=CH– bond being cis or trans;

R_{22} is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{22} are substituted by at least on C_1–C_6 linear alkyl group, phenyl group, or



;

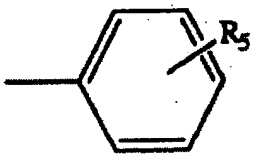
where Z_1 is lower alkyl, –OH, lower alkoxy, $-CF_3$, $-NO_2$, $-NH_2$ or halogen, and p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] –C(=O)–alkyl, –C(=O)–O–alkyl, –C(=O)–aryl, –C(=O)–heteroaryl, or

$-CH(OR_7)-alkyl$[,]; [$-C(=W)-alkyl$, $-C(=W)-aryl$, or $-C(=W)-heteroaryl$;]

wherein alkyl is lower alkyl;

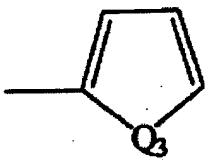
aryl is phenyl or



;

wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



;

Q_3 is $-O-$, $-S-$, $-NH-$, or $-CH=N-$;

[W is CH_2 or CHR_8 or $N-R_9$;]

R_7 is hydrogen, lower alkyl, or [(C_2-C_{11}) alkanoyl] lower alkyl-(C=O)-;

[R_8 is lower alkyl;

R_9 is hydroxy, alkoxy, or $-NHR_{10}$; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl, $-C(=O)-aryl$ or $-C(=O)-heteroaryl$, where aryl and heteroaryl are as defined above;]

and

m is 1, 2, or 3;

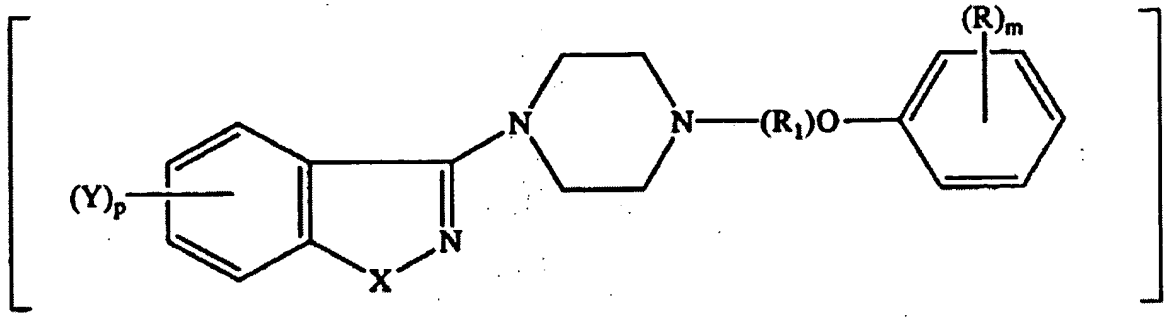
with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or $-COOR_{23}$

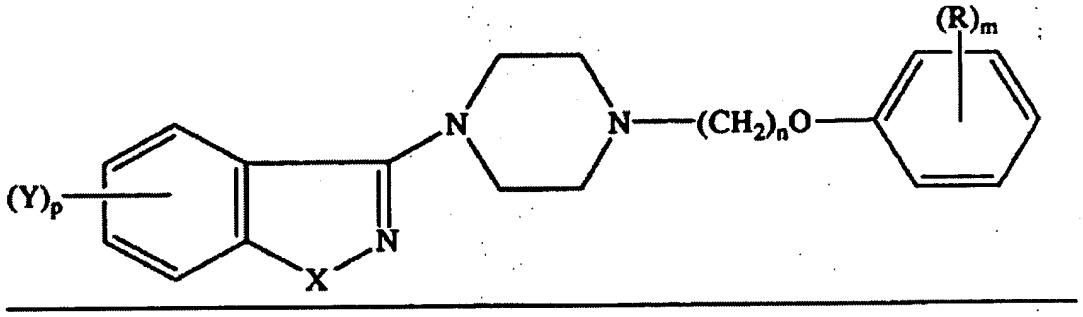
wherein R_{23} is H or C_1-C_4 alkyl;

with the exclusion of compounds wherein X is -S-, [R_1 is R_{20},] R is H, and m=1;

[all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof.

33. (Amended Four Times) An analgesic composition, which comprises a compound of the formula:





wherein,

X is -O-, -S-, -NH-, or [-N(R_2)] $\underline{-N(R_2)}$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups;

wherein aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is –O–;

[R_1 is R_{20}, R_{21} or R_{22}, wherein:

R_{20} is $-(CH_2)_n-$ where] n is 2, 3, 4, or 5;

[R_{21} is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2$,

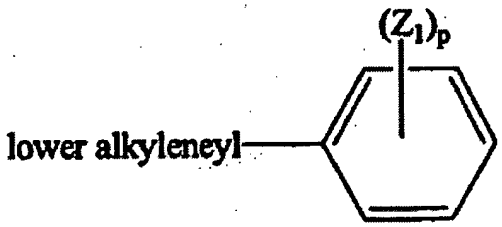
$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C\equiv C-CH_2$,

the –CH=CH– bond being cis or trans;

R_{22} is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least on C_1–C_6 linear alkyl group, phenyl group, or



;

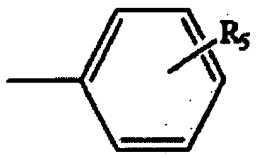
where Z_1 is lower alkyl, –OH, lower alkoxy, $-CF_3$, $-NO_2$, $-NH_2$ or halogen, and p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] –C(=O)–alkyl, –C(=O)–O–alkyl, –C(=O)–aryl, –C(=O)–heteroaryl, or

$-CH(OR_7)-alkyl$[,]; [$-C(=W)-alkyl$, $-C(=W)-aryl$, or $-C(=W)-heteroaryl$;]

wherein alkyl is lower alkyl;

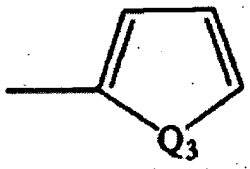
aryl is phenyl or



;

wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



;

wherein Q_3 is $-O-$, $-S-$, $-NH-$, or $-CH=N-$;

[W is CH_2 or CHR_8 or $N-R_9$;]

R_7 is hydrogen, lower alkyl, or [(C_2-C_{11}) alkanoyl] lower alkyl-(C=O)-;

[R_8 is lower alkyl;

R_9 is hydroxy, alkoxy, or $-NHR_{10}$; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl, $-C(=O)-aryl$ or $-C(=O)-heteroaryl$, where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or -$COOR_{23}$

wherein R_{23} is H or C_1-C_4 alkyl;

with the exclusion of compounds wherein X is -S-, [R_1 is R_{20},] R is H, and m=1; [all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

34. (Amended) A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a [compound] composition as claimed in claim 33.

35. A pharmaceutical composition, which comprises a compound as claimed in claim 1, 25, 26, 27, 28, or 29, and a pharmaceutically acceptable carrier therefor.

36. (Amended) An [antispsychotic] antipsychotic composition, which comprises a compound as claimed in claim 1, 25 ,26, 27, 28, or 29, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

37. (Amended) A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 1, 25, 26, 27, [29] 28 or 29.

38. An analgesic composition, which comprises a compound as claimed in claim 1, 25, 26, 27, 28, or 29, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

39. A method of alleviating pain which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in claim 1, 25, 26, 27, 28, or 29.

40. An antipsychotic composition, which comprises a compound as claimed in claim 1, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

41. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 1.

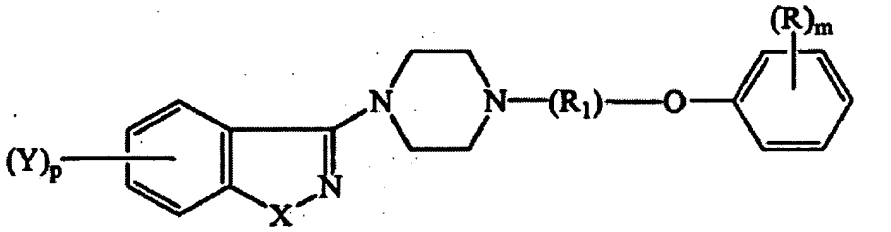
42. An analgesic composition, which comprises a compound as claimed in claim 1, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

43. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in claim 1.

44. The compound of any one of claims 1, 25, 26, 27, 28, and 29, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of mineral acids, salts of monobasic carboxylic acids, salts of dibasic carboxylic acids, and salts of tribasic carboxylic acids.

45. The compound of claim 44, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of hydrochloric acid, sulfuric acid, nitric acid, acetic acid, propionic acid, maleic acid, fumaric acid, carboxysuccinic acid, and citric acid.

46. (Amended Twice) A compound of the formula



wherein

X is -O-, -S-, -NH-, or $-N(R_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R_1) is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2-$,

$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

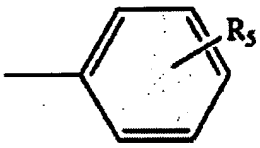
$-CH_2-CH_2-C\equiv C-CH_2-$,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR$_7$)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

wherein alkyl is lower alkyl;

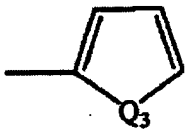
aryl is phenyl or



;

wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



;

wherein Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH_2 or CHR_8 or N-R_9;

R_7 is hydrogen, lower alkyl, or lower alkyl-(C=O)-;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or $-NHR_{10}$; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl, -C(=O)-aryl, or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or $-COOR_{23}$,

wherein R_{23} is H or C_1-C_4 alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof.

47. A compound as claimed in claim 46, wherein X is -O-, -S-, or -NH-.

48. A compound as claimed in claim 46, wherein Y is hydrogen, chlorine, bromine, or fluorine.

Claim 49 has been cancelled

50. A compound as claimed in claim 46, wherein X is -O-.

51. A compound as claimed in claim 46, wherein X is -S-.

52. A compound as claimed in claim 46, wherein X is -NH-.

53. A compound as claimed in claim 46, wherein X is -N(R_2).

54. (Amended Twice) A compound as claimed in claim 46, wherein X is –O–, –S–, or –NH–; Y is H, Cl, F, or –CF_3; R is selected from the group consisting of hydrogen, C_1–C_3 alkyl, C_1–C_3 alkoxy, –OH, Cl, F, Br, I, C_1–C_3 monoalkylamino, acylamino, –NO_2, –OCF_3, and –CF_3.

55. A compound as claimed in claim 54, wherein the substituent Y is in the 5- or 6-position.

56. A compound as claimed in claim 55, wherein m is 2.

Claim 57 has been cancelled

58. A compound as claimed in claim 55, wherein p is 1.

59. A pharmaceutical composition, which comprises a compound as claimed in claim 46, and a pharmaceutically acceptable carrier therefor.

60. An antipsychotic composition which comprises a compound as claimed in claim 46, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

61. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 46.

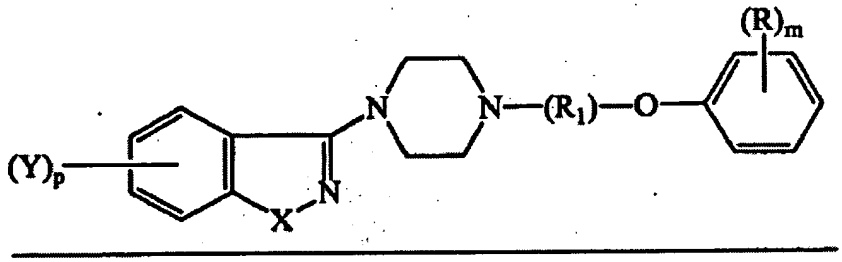
62. An analgesic composition which comprises a compound as claimed in claim 46, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

63. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in claim 46.

64. The compound of claim 46, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of mineral acids, salts of monobasic carboxylic acids, salts of dibasic carboxylic acids, and salts of tribasic carboxylic acids.

65. The compound of claim 64, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of hydrochloric acid, sulfuric acid, nitric acid, acetic acid, propionic acid, maleic acid, fumaric acid, carboxysuccinic acid, and citric acid.

66. (Amended Twice) A compound of the formula



wherein

X is –O–, –S–, –NH–, or –N(R_2);

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3–C_{10}) cycloalkyl, aroyl, (C_2–C_{11}) alkanoyl, and phenylsulfonyl groups;

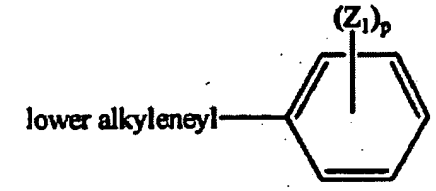
aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is –O–;

(R_1) is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least one C_1–C_6 linear alkyl group, phenyl group or



wherein Z_1 is lower alkyl, -OH, lower alkoxy, $-CF_3$, $-NO_2$, $-NH_2$ or halogen;

R_{20} is $-(CH_2)_n-$, where n is 2, 3, 4 or 5;

R_{21} is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2-$,

$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C\equiv C-CH_2-$,

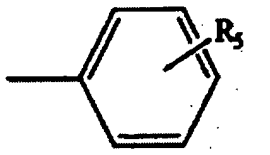
the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, $-CH(OR_7)$-alkyl, -C(=W)-alkyl,

-C(=W)-aryl, or -C(=W)-heteroaryl;

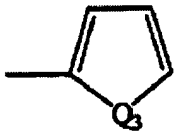
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH_2 or CHR_8 or $N-R_9$;

R_7 is hydrogen, lower alkyl, or lower alkyl-(C=O)-;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or $-NHR_{10}$; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl, -C(=O)-aryl, or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or $-COOR_{23}$

wherein R_{23} is H or C_1-C_4 alkyl;

with the exclusion of compounds wherein X is -S-, R_1 is R_{20}, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof.

67. A compound as claimed in claim 66, wherein X is -O-, -S-, or -NH-.

68. A compound as claimed in claim 66, wherein Y is hydrogen, chlorine, bromine, or fluorine.

69. A compound as claimed in claim 66, wherein n is 2, 3, or 4.

70. A compound as claimed in claim 66, wherein X is -O-.

71. A compound as claimed in claim 66, wherein X is -S-.

72. A compound as claimed in claim 66, wherein X is -NH-.

73. A compound as claimed in claim 66, wherein X is $-N(R_2)$.

74. (Amended Twice) A compound as claimed in claim 66, wherein X is –O–, –S–, or –NH–; Y is H, Cl, F, or $-CF_3$; R is selected from the group consisting of hydrogen, C_1–C_3 alkyl, C_1–C_3 alkoxy, –OH, Cl, F, Br, I, C_1–C_3 monoalkylamino, acylamino, $-NO_2$, $-OCF_3$, and $-CF_3$; and n is 2, 3, or 4.

75. A compound as claimed in claim 74, wherein the substituent Y is in the 5- or 6-position.

76. A compound as claimed in claim 75, wherein m is 2.

77. A compound as claimed in claim 75, wherein n is 3.

78. A compound as claimed in claim 75, wherein p is 1.

79. A pharmaceutical composition, which comprises a compound as claimed in claim 66, and a pharmaceutically acceptable carrier therefor.

80. An antipsychotic composition which comprises a compound as claimed in claim 66, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

81. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 66.

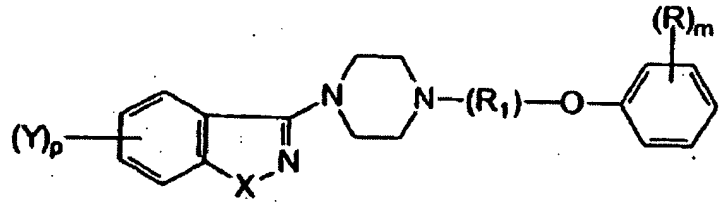
82. An analgesic composition which comprises a compound as claimed in claim 66, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

83. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in claim 66.

84. The compound of claim 66, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of mineral acids, salts of monobasic carboxylic acids, salts of dibasic carboxylic acids, and salts of tribasic carboxylic acids.

85. The compound of claim 84, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of hydrochloric acid, sulfuric acid, nitric acid, acetic acid, propionic acid, maleic acid, fumaric acid, carboxysuccinic acid, and citric acid.

86. (Amended) A pharmaceutical composition, which compromises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R_2);

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10})cycloalkyl, aroyl, (C_2-C_{11})alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R_1) is

-CH_2-CH=CH-CH_2-,

-CH_2-C≡C-CH_2-,

-CH_2-CH=CH-CH_2-CH_2-,

$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C\equiv C-CH_2-$,

the -CH=CH- bond being cis or trans;

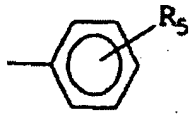
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

$-CH(OR_7)$-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

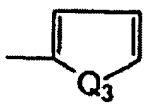
where alkyl is lower alkyl;

aryl is phenyl or



where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



;

where Q_1 is -O-, -S-, -NH-, or -CH=N-;

W is CH_2 or CHR_8 or N-R_9;

R_7 is hydrogen, lower alkyl, or lower alkyl-(C=O)-;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or -NHR_{10}; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

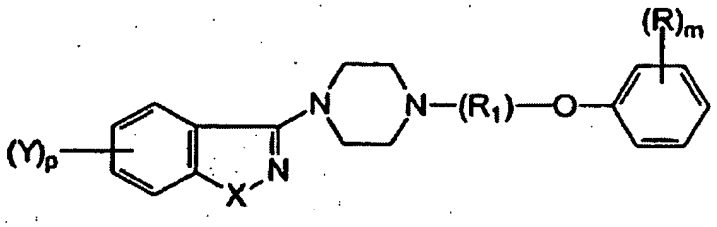
where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or -$COOR_{13}$, where R_{13} is C_1-C_4 alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof, and a pharmaceutically acceptable carrier therefor.

87. (Amended) A pharmaceutical composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R_2);

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10})cycloalkyl, aroyl, (C_2-C_{11})alkanoyl, and phenylsulfonyl groups;

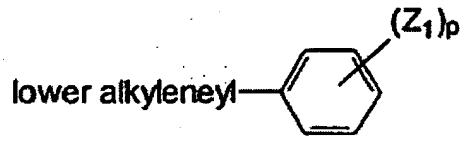
aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R_1) is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least one C_1-C_6 linear alkyl group, phenyl group or



;

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where Z_1 is lower alkyl, -OH, lower alkoxy, $-CF_3$, $-NO_2$, $-NH_2$ or halogen;

R_{20} is $-(CH_2)_n-$, where n is 2, 3, 4 or 5;

R_{21} is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2-$,

$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

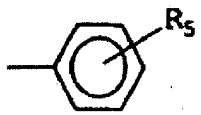
$-CH_2-CH_2-C\equiv C-CH_2-$,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, $-CH(OR_7)$-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

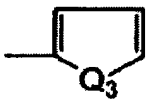
where alkyl is lower alkyl;

aryl is phenyl or



where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



where Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH_2 or CHR_8 or N-R_9;

R_7 is hydrogen, lower alkyl or lower alkyl-(C=O)-;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or -NHR_{10}; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl, -C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

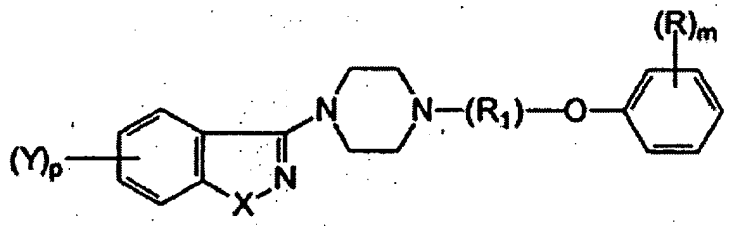
m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or -$COOR_{11}$, where R_{11} is C_1-C_4 alkyl;

with the exclusion of compounds wherein X is -S-, R_1 is R_{20}, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof, and a pharmaceutically acceptable carrier therefor.

88. (Amended) An antipsychotic composition, which comprises a compound of the formula



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wherein

X is -O-, -S-, -NH-, or -N(R_2)-;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10})cycloalkyl, aroyl, (C_2-C_{11})alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R_1) is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2-$,

$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C\equiv C-CH_2-$,

the -CH=CH- bond being cis or trans;

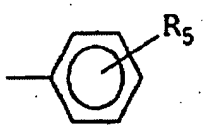
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

$-CH(OR_7)$-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

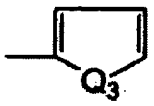
where alkyl is lower alkyl;

aryl is phenyl or



where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



;

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where Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH, or CHR_8 or N-R_9;

R_7 is hydrogen, lower alkyl, or lower alkyl-(C=O)-;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or -NHR_{10}; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl, -C(=O)-aryl, or -C(=O)-heteroaryl,

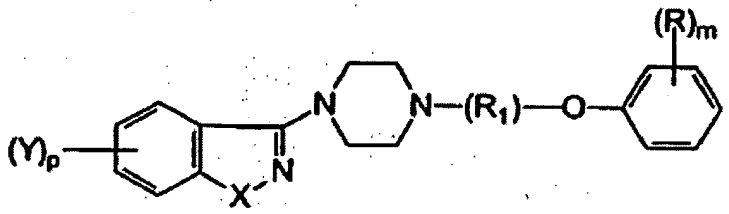
where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or -$COOR_{21}$ where R_{21} is C_1-C_4 alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

89. (Amended) An antipsychotic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R_2);

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10})cycloalkyl, aroyl, (C_2-C_{11})alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

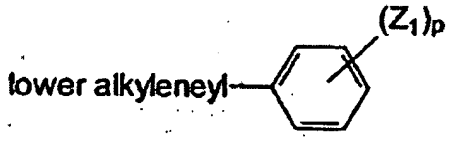
p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R_1) is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at

least one C_1-C_6 linear alkyl group, phenyl group or



;

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where Z_1 is lower alkyl, -OH, lower alkoxy, $-CF_3$, $-NO_2$, $-NH_2$ or halogen;

R_{20} is $-(CH_2)_n-$, where n is 2, 3, 4 or 5;

R_{21} is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2-$,

$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

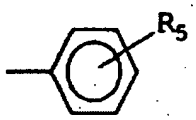
$-CH_2-CH_2-C\equiv C-CH_2-$,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, $-CH(OR_7)$-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

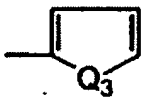
where alkyl is lower alkyl;

aryl is phenyl or



where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



;

where Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH_2 or CHR_8 or N-R_9;

R_7 is hydrogen, lower alkyl, or lower alkyl-(C=O)-;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or -NHR_{10}; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl, -C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or -$COOR_{21}$, where R_{21} is C_1-C_4 alkyl;

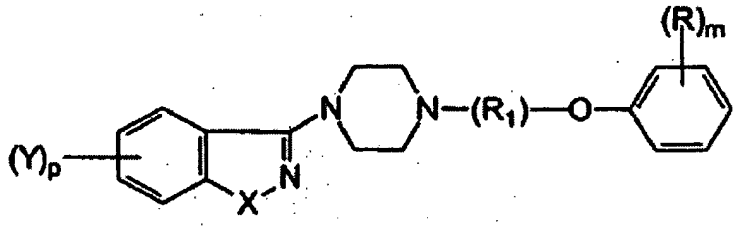
with the exclusion of compounds wherein X is -S-, R_1 is R_{20}, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

90. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a composition as claimed in claim 88.

91. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a composition as claimed in claim 89.

92. (Amended) An analgesic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R_2);

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10})cycloalkyl, aroyl, (C_2-C_{11})alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R_1) is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2-$,

$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C\equiv C-CH_2-$,

the -CH=CH- bond being cis or trans;

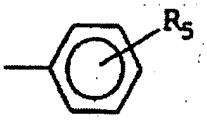
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

-CH(OR_7)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

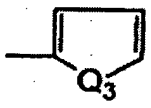
where alkyl is lower alkyl;

aryl is phenyl or



where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



;

where Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH, or CHR_8 or N-R_9;

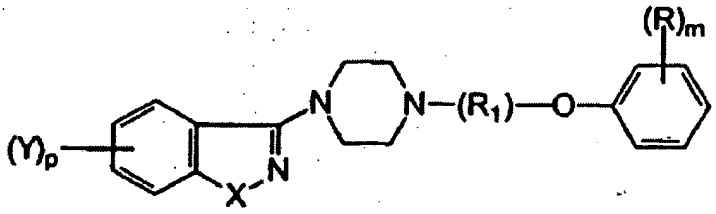
R_7 is hydrogen, lower alkyl, or lower alkyl-(C=O)-;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or -NHR_{10}; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl,

93. (Amended) An analgesic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R_2);

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_1-

C_{10})cycloalkyl, aroyl, (C_2-C_{11})alkanoyl, and phenylsulfonyl groups;

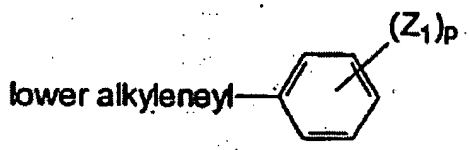
aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R_1) is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least one C_1-C_6 linear alkyl group, phenyl group or



;

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where Z_1 is lower alkyl, -OH, lower alkoxy, $-CF_3$, $-NO_2$, $-NH_2$ or halogen;

R_{20} is $-(CH_2)_n-$, where n is 2, 3, 4 or 5;

R_{21} is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2-$,

$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

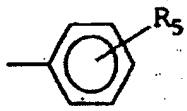
$-CH_2-CH_2-C\equiv C-CH_2-$,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR$_7$)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

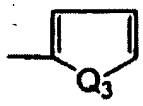
where alkyl is lower alkyl;

aryl is phenyl or



where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



where Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH$_2$ or CHR$_8$ or N-R$_9$;

R_7 is hydrogen, lower alkyl, or acyl;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or $-NHR_{10}$; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or $-COOR_{13}$ where R_{13} is C_1-C_4 alkyl;

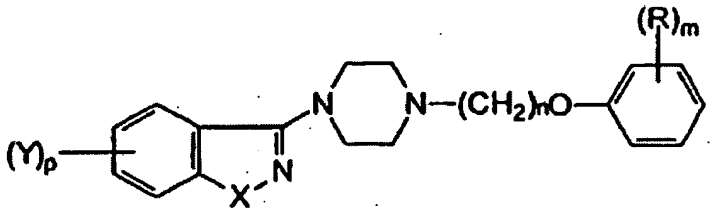
with the exclusion of compounds wherein X is -S-, R_1 is R_{20}, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

94. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a composition as claimed in claim 92.

95. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a composition as claimed in claim 93.

96. (Amended) A compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R_2);

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10})cycloalkyl, aroyl, (C_2-C_{11})alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

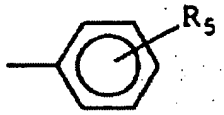
n is 2, 3, 4 or 5;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl,

-C(=O)-aryl, -C(=O)-heteroaryl, or -CH(OR$_7$)-alkyl; -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

alkyl is lower alkyl;

aryl is phenyl or



;

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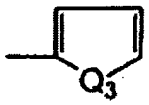
where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



;

Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH_2 or CHR_8 or N-R_9;

R_7 is hydrogen, lower alkyl, or lower alkyl-(C=O)-;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or -NHR_{10}; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the proviso that at least one R is selected from the group consisting of dialkylaminocarbonyl, formyl, -C(=W)-alkyl, -C(=W)-aryl, and

-C(=W)-heteroaryl;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or -$COOR_{23}$, where R_{23} is C_1-C_4 alkyl;

with the exclusion of compounds wherein X is -S-, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof.

97. A compound as claimed in claim 96, wherein X is -O-, -S-, or -NH-.

98. A compound as claimed in claim 96, wherein Y is hydrogen, chlorine, bromine, or fluorine.

99. A compound as claimed in claim 96, wherein n is 2, 3, or 4.

100. A compound as claimed in claim 96, wherein X is -O-.

101. A compound as claimed in claim 96, wherein X is -S-.

102. A compound as claimed in claim 96, wherein X is -NH-.

103. A compound as claimed in claim 96, wherein X is $-N(R_2)$.

104. (Amended) A compound as claimed in claim 96, wherein X is -O-, -S-, or -NH-; Y is H, Cl, F, or $-CF_3$; R is selected from the group consisting of hydrogen, C_1-C_3 alkyl, C_1-C_3 alkoxy, -OH, Cl, F, Br, I, C_1-C_3 monoalkylamino, acylamino, $-NO_2$, $-OCF_3$, or $-CF_3$; and n is 2, 3, or 4.

105. A compound as claimed in claim 104, wherein the substituent Y is in the 5- or 6-position.

106. A compound as claimed in claim 105, wherein m is 2.

107. A compound as claimed in claim 105, wherein n is 3.

108. A compound as claimed in claim 105, wherein p is 1.

109. A pharmaceutical composition, which comprises a compound as claimed in claim 96, and a pharmaceutically acceptable carrier therefor.

110. An antipsychotic composition which comprises a compound as claimed in claim 96, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

111. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 96.

112. An analgesic composition which comprises a compound as claimed in claim 96, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

113. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in claim 96.

114. The compound of claim 96, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of mineral acids, salts of monobasic carboxylic acids, salts of dibasic carboxylic acids, and salts of tribasic carboxylic acids.

115. The compound of claim 114, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of hydrochloric acid, sulfuric acid, nitric acid, acetic acid, propionic acid, maleic acid, fumaric acid, carboxysuccinic acid, and citric acid.

P.S.